

(57) Abstract: Methods of screening compositions for G protein-coupled receptor ("GPCR") agonist activity against two or more GPCRs in a multiplex receptor assay format are disclosed. One or more cells expressing at least two different GPCRs are exposed to a test composition and it is determined whether or not the composition gives an indication of GPCR agonist activity with respect to any of the at least two different GPCRs. Each of the one or more cells also includes one or more conjugates comprising a marker molecule and a protein associated with the GPCR desensitization pathway of one or more of the GPCRs; that are being used to screen the composition for GPCR agonist activity. The conjugate or conjugates are used to indicate, through the use of the marker molecule, GPCR agonist activity of the test composition with respect to each of the GPCRs that are being used to screen the test composition.